

## PATENT COOPERATION TREATY

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## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference P-INCI-X-04-0270	<b>FOR FURTHER ACTION</b>	
	See Form PCT/IPEA/416	
International application No. PCT/EP2004/008507	International filing date (day/month/year) 29.07.2004	Priority date (day/month/year) 30.07.2003
International Patent Classification (IPC) or national classification and IPC C07D413/04, A61K31/535, A61P25/00		
Applicant LABORATORIOS DEL DR. ESTEVE S.A. et al.		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.

2. This REPORT consists of a total of 5 sheets, including this cover sheet.

3. This report is also accompanied by ANNEXES, comprising:

- sent to the applicant and to the International Bureau) a total of 1-84 sheets, as follows:
  - sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).
  - sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.
- (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).

4. This report contains Indications relating to the following items:

- Box No. I Basis of the opinion
- Box No. II Priority
- Box No. III Non-establishment of opinion with regard to novelty, inventive step and Industrial applicability
- Box No. IV Lack of unity of invention
- Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement
- Box No. VI Certain documents cited
- Box No. VII Certain defects in the international application
- Box No. VIII Certain observations on the international application

Date of submission of the demand  28.02.2005	Date of completion of this report  15.12.2005
Name and mailing address of the international preliminary examining authority:   European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized Officer  Deutsch, W Telephone No. +49 89 2399-8281



# **INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY**

International application No.  
PCT/EP2004/008507

**Box No. I Basis of the report**

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
    - This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
      - international search (under Rules 12.3 and 23.1(b))
      - publication of the international application (under Rule 12.4)
      - international preliminary examination (under Rules 55.2 and/or 55.3)
  2. With regard to the **elements\*** of the international application, this report is based on (*replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report*):

**Description, Pages**

1-260 as originally filed

## **Claims, Numbers**

1-66 filed with telefax on 30.05.2005

- a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing

3.  The amendments have resulted in the cancellation of:

  - the description, pages
  - the claims, Nos.
  - the drawings, sheets/figs
  - the sequence listing (*specify*):
  - any table(s) related to sequence listing (*specify*):

4.  This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).

  - the description, pages
  - the claims, Nos.
  - the drawings, sheets/figs
  - the sequence listing (*specify*):
  - any table(s) related to sequence listing (*specify*):

\* If item 4 applies, some or all of these sheets may be marked "superseded."

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**Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement**

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**1. Statement**

Novelty (N)	Yes:	Claims	1-81
	No:	Claims	
Inventive step (IS)	Yes:	Claims	1-81
	No:	Claims	
Industrial applicability (IA)	Yes:	Claims	1-81
	No:	Claims	

**2. Citations and explanations (Rule 70.7):**

**see separate sheet**

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**Box No. VII Certain defects in the international application**

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The following defects in the form or contents of the international application have been noted:

**see separate sheet**

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**Box No. VIII Certain observations on the international application**

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The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

**see separate sheet**

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**Re Item V.**

1 The following document is referred to in this communication:

- D1: US-A-5 665 719 (PETTIBONE DOUGLAS J ET AL) 9 September 1997 (1997-09-09)
- D2: WO 01/85725 A (FEENSTRA ROELOF W ; LONG STEPHEN K (NL); HEIJDEN JOHANNES A M V D (NL)) 15 November 2001 (2001-11-15)
- D3: WO 97/45419 A (WARNER LAMBERT CO ; WISE LAWRENCE DAVID (US); WUSTROW DAVID JUERGEN (U) 4 December 1997 (1997-12-04)
- D4: US-B-6 225 3121 (KRUSE CORNELIS GERRIT ET AL) 1 May 2001 (2001-05-01)
- D5: EP-A-1 242 396 (ASTRAZENECA AB) 25 September 2002 (2002-09-25)

**Novelty**

The compounds of the present claim 1, 42 differ from those of the amended claims through the possible substituents of the aliphatic group in the definition of W, Wb.

The subject matter of the present claim 1 and 42 is regarded as a novel selection from the general disclosures of D1.

The compounds of the present claims differ those of D2 to D5 through the presence of the SO<sub>2</sub> moiety at the 1 position of the piperidine ring.

The "subsequent medical use claim 21" is novel vis-avis D1 through the pathological conditions listed

**Inventive Step**

The closest prior art is considered to be D4, since this discloses benzoxazinone compounds, which may be substituted inter alia by piperidine moieties and which are indicated as being active as 5-HT<sub>1A</sub> receptors.

The problem underlying the present application is the provision of compounds which are

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useful in the treatment of certain disorders related to 5HT<sub>6</sub> receptor regulation.

The skilled person could not have arrived at the claimed subject matter from D4 in view of the structural differences between the claimed compounds and those of D4 (cf point of attachment of piperidine to the nitrogen of the benzoxazine ring and presence of the SO<sub>2</sub> group).

An inventive step can therefore be acknowledged

**VII.**

Contrary to the requirements of Rule 5.1(a)(ii) PCT, the relevant background art disclosed in the documents D1 to D5 is not mentioned in the description, nor are these documents identified therein.

**VIII**

The term "preferably" used in the claims has no limiting effects on the claims.

The description is not adapted to the present claims.

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The reasons for the provisos in the present claim 1 are at present unclear, and it may be necessary for the Applicant to explain these at the regional stage of examination.